

Figure 1

= h

32 R = $m-C_6H_4OCH_3$

34 R = $0-C_6H_4OCH_3$

33 R = $0-C_6H_4O(CH_2)_{13}CH_3$

t-Boc-L-Serine

$$PPh_3$$
 $DEAD$
 PPh_3
 NH
 PPh_3
 NH
 PPh_3
 NH
 PPh_3
 NH
 NH
 PPh_3
 NH
 NH

TFA

Figure 2

41 R = $m-C_6H_4OCH_3$

43 R = $0-C_6H_4OCH_3$

42 R = $0-C_6H_4O(CH_2)_{13}CH_3$

100 PM

|=1 |1]

L.

H

≈ķ

===

Figure 3

60-62

- 1) Tetrazole
- 2) Dibenzyldiisopropyl phosphoramidate
- 3) Peracetic acid

63-65

60 R =
$$(CH_2)_{17}CH_3$$

61 R = $(CH_2)_{17}CH_3$

61 R =
$$(CH_2)_{11}CH_3$$

61 R =
$$(CH_2)_{11}CH_3$$

62 R = $(CH_2)_{15}CH_3$

63 R =
$$(CH_2)_{17}CH_3$$

64 R =
$$(CH_2)_{11}CH_3$$

65 R =
$$(CH_2)_{15}CH_3$$

66-68

66 R =
$$(CH_2)_{17}CH_3$$

67 R = $(CH_2)_{11}CH_3$

68 R =
$$(CH_2)_{15}CH_3$$

Figure 4

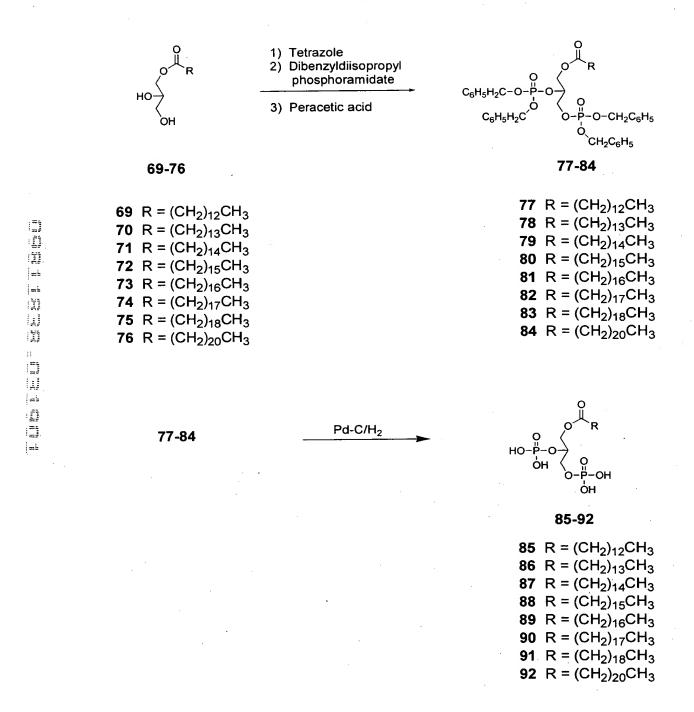


Figure 5A

Figure 5B

Figure 6A

Figure 6B

Figure 7A

Figure 7B

Figure 7C

::

$$CH_{3}(CH_{2})_{n}O \longrightarrow P \longrightarrow OBn \longrightarrow CH_{3}(CH_{2})_{n}O \longrightarrow P \longrightarrow OH$$

$$101: n = 3$$

$$102: n = 7$$

$$103: n = 11$$

$$104: n = 17$$

$$105: n = 21$$

$$106: n = 3$$

$$107: n = 7$$

$$108: n = 11$$

$$109: n = 17$$

$$110: n = 21$$

Figure 8

1. 1/H-Tetrazole, Dibenzyl-
N,N-diisopropyl phosphor-
amidite,
$$CH_2Cl_2$$

CH₃(CH₂)_nSH

2. Peracetic acid

O

10% Pd/C,
H₂, MeOH

CH₃(CH₂)_nS

P

OBn

CH₃(CH₂)_nS

P

OH

OBn

OH

Figure 9

1.
$$1H$$
-Tetrazole, Dibenzyl-
N,N-diisopropyl phosphor-
amidite, CH_2Cl_2

CH₃(CH₂)_nNH₂

2. Peracetic acid

O

10% Pd/C,
H₂, MeOH
H₂, MeOH
CH₃(CH₂)_nN
P
OBn
OH

OBn
OH

Figure 10

Figure 11

Figure 12

HO
$$\stackrel{+}{N}$$
 N-R $\stackrel{+}{N}$ 1. Tris(1,2,4-triazole)phosphate $\stackrel{-}{N}$ N-R $\stackrel{-}{N}$ N-R $\stackrel{-}{N}$ HO $\stackrel{-}{N}$ HO $\stackrel{-}{N}$ HO $\stackrel{-}{N}$ HO $\stackrel{-}{N}$ HO $\stackrel{-}{N}$ HO $\stackrel{-}{N}$

35-43

Figure 13

Figure 14

Figure 15

Figure 16

Figure 17

Figure 18

Figure 19

Figure 20

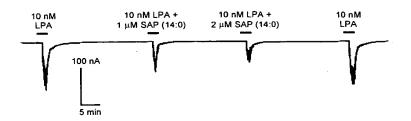


Figure 21

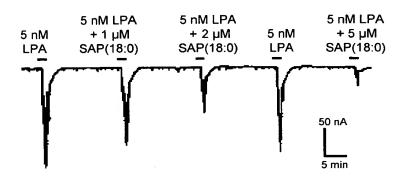
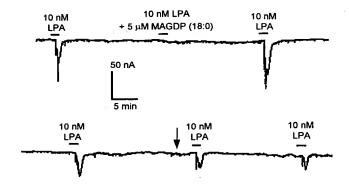


Figure 22



Figures 23A-B



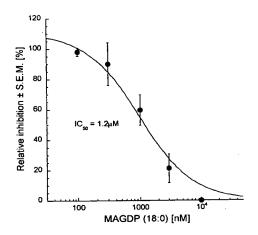


Figure 24

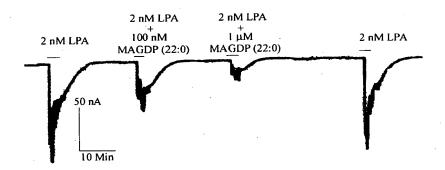


Figure 25

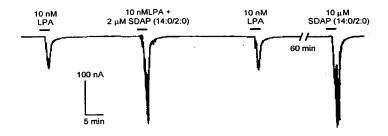


Figure 26

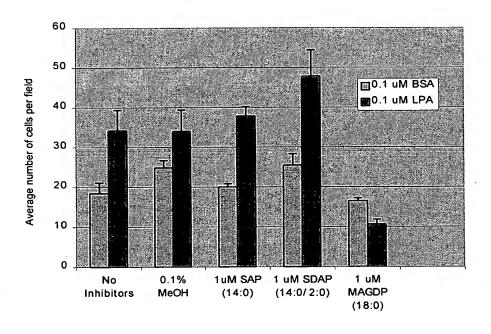
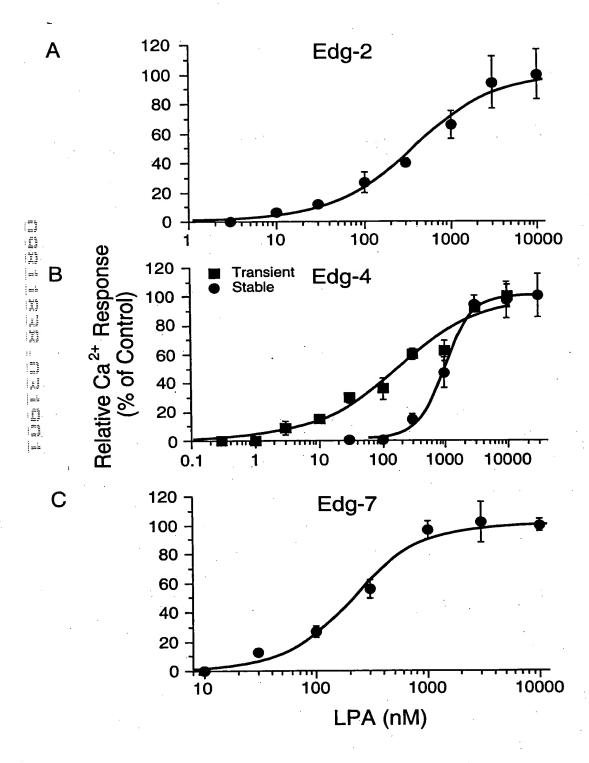
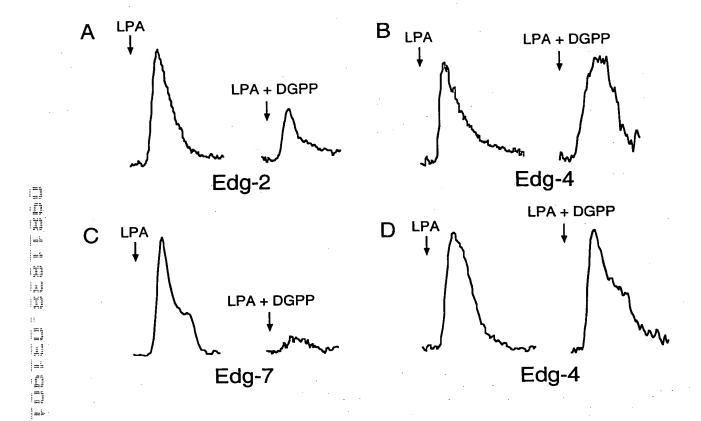


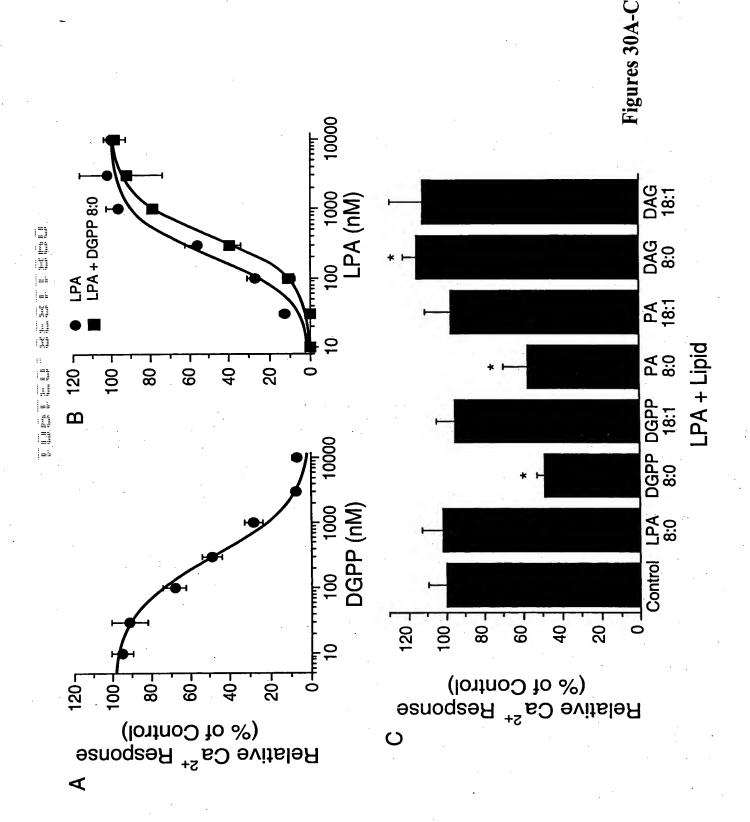
Figure 27

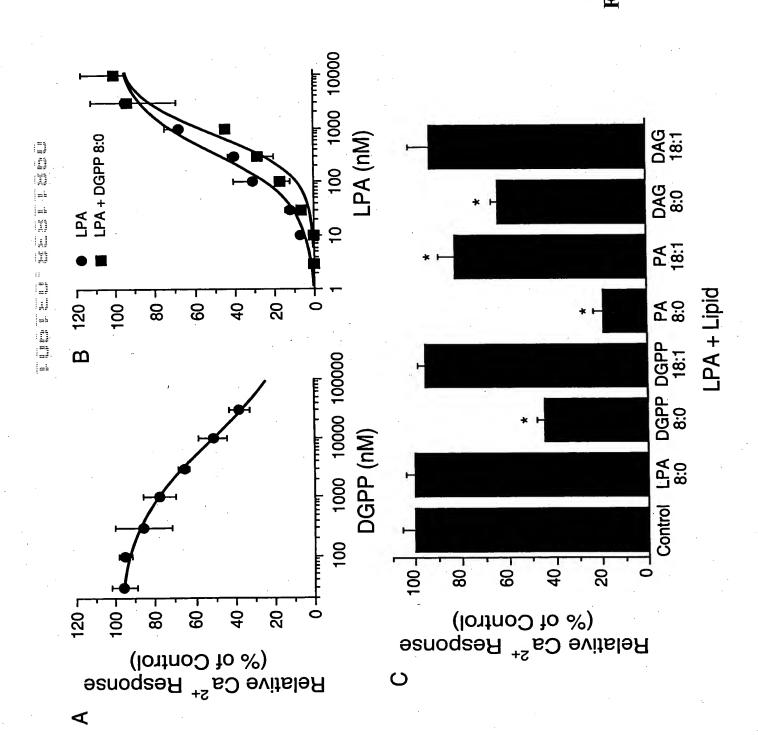


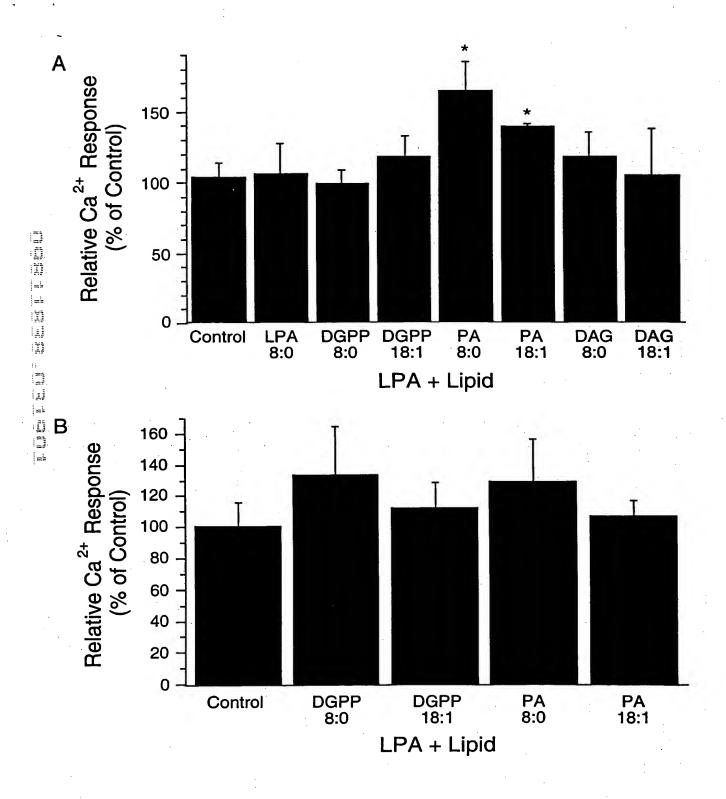
Figures 28A-C



Figures 29A-D







Figures 32A-B

PA

LPA I

٩I

LPA + DGPP (8:0)

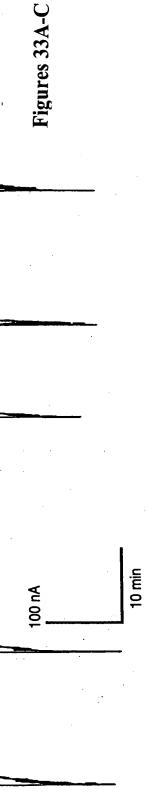
> LPA I

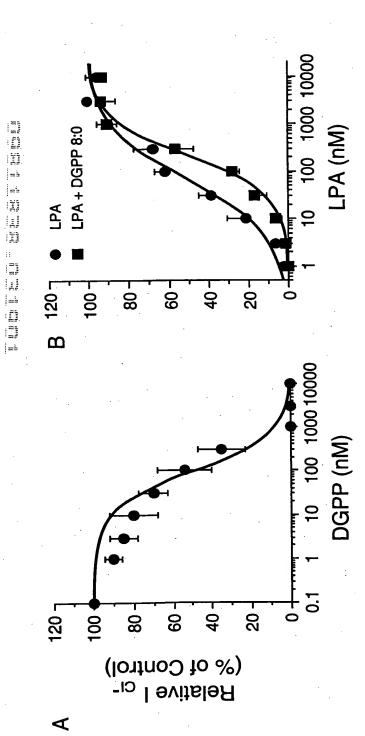
LPA I

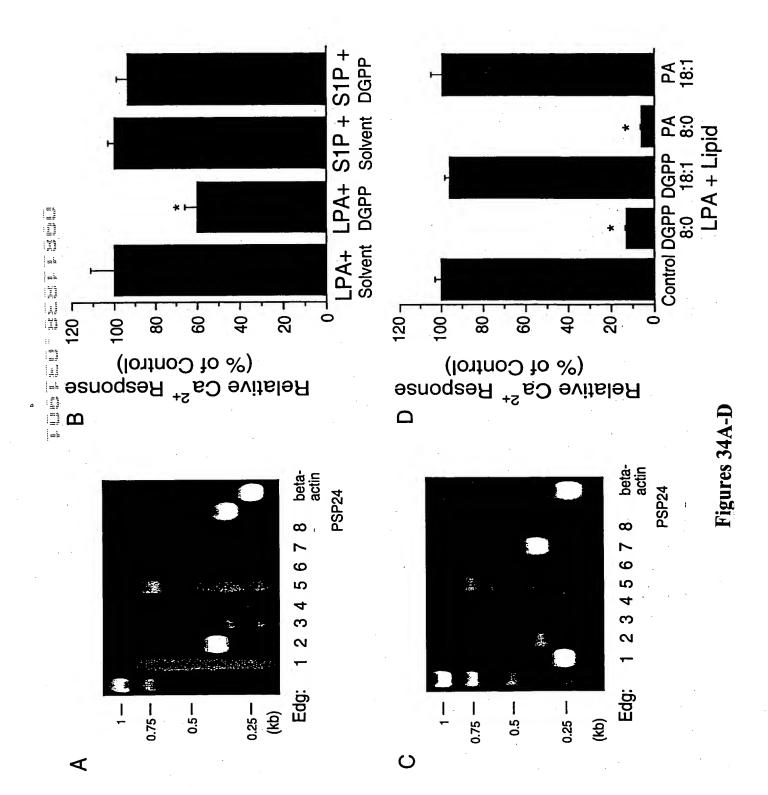
O

35 min

Injection (DGPP 8:0)







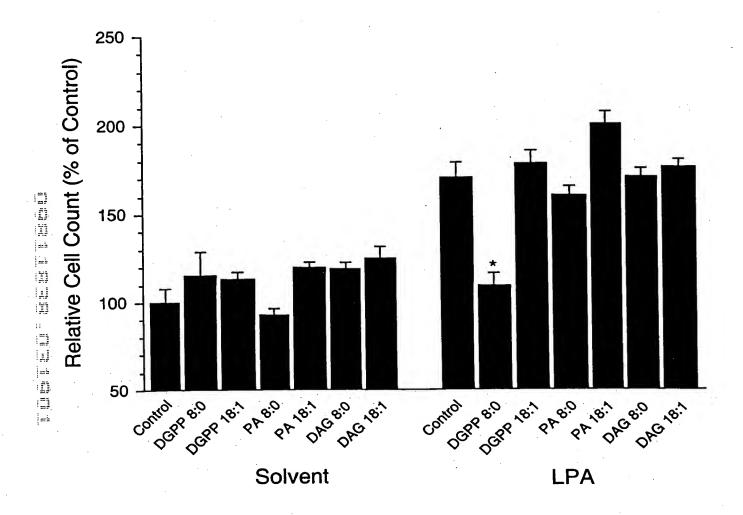


Figure 35

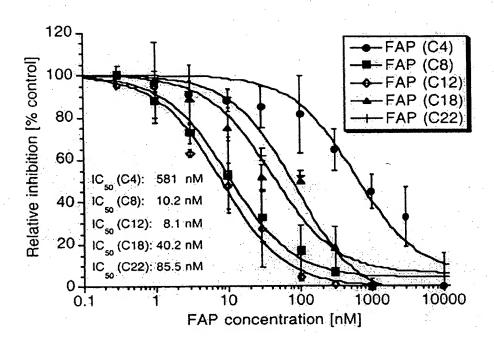


Figure 36

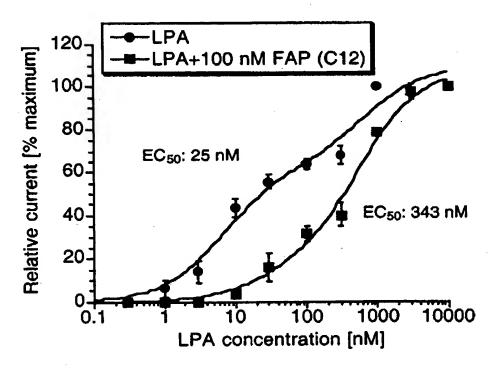


Figure 37

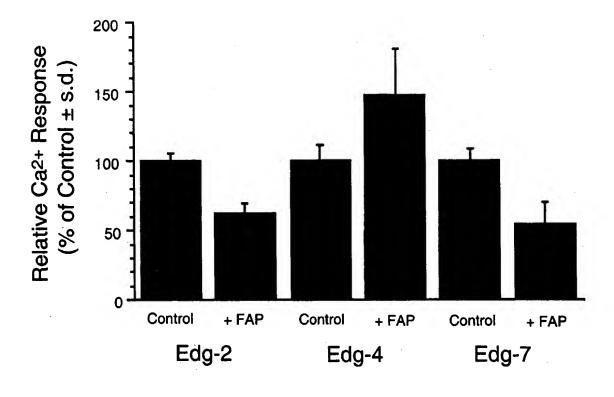


Figure 38